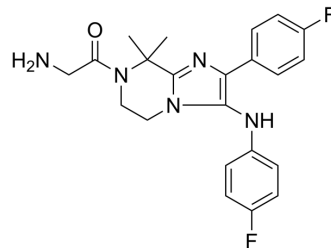


Ganaplacide

Cat. No.:	HY-108024
CAS No.:	1261113-96-5
Molecular Formula:	C ₂₂ H ₂₃ F ₂ N ₅ O
Molecular Weight:	411.45
Target:	Parasite
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ganaplacide (KAF156) is a first-in-class, orally active imidazolopiperazine antimalarial agent. Ganaplacide is active against a broad range of Plasmodium species, including drug-resistant parasites. Ganaplacide is parasitocidal against both asexual and sexual blood stages as well as the liver stages of the parasite ^{[1][2]} .
In Vitro	Ganaplacide (KAF156) shows blood schizonticidal activity with 50% inhibitory concentrations of 6 to 17.4 nM against <i>P. falciparum</i> drug-sensitive and drug-resistant strains ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ganaplacide (KAF156) displays schizonticidal activity against mature <i>Plasmodium falciparum</i> gametocytes and thus blocks parasite transmission to <i>Anopheles</i> mosquitoes ^[1] . Ganaplacide (KAF156) (1-15 mg/kg; p.o.) is fully protective in a causal prophylactic mouse model of malaria ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Model:	Mice (causal prophylactic rodent malaria model) ^[1]
Dosage:	1-15 mg/kg
Administration:	p.o.
Result:	A single oral dose of 10 mg of KAF156/kg administered 2 h before infection was fully protective.

REFERENCES

- [1]. Kuhen KL, et al. KAF156 is an antimalarial clinical candidate with potential for use in prophylaxis, treatment, and prevention of disease transmission. *Antimicrob Agents Chemother.* 2014;58(9):5060-5067.
- [2]. Leong FJ, et al. A first-in-human randomized, double-blind, placebo-controlled, single- and multiple-ascending oral dose study of novel Imidazolopiperazine KAF156 to assess its safety, tolerability, and pharmacokinetics in healthy adult volunteers. *Antimicrob Agents Chemother.* 2014;58(11):6437-6443.
- [3]. Leong FJ, et al. A first-in-human randomized, double-blind, placebo-controlled, single- and multiple-ascending oral dose study of novel Imidazolopiperazine KAF156 to assess its safety, tolerability, and pharmacokinetics in healthy adult volunteers. *Antimicrob Agents Chemother.* 2014;58(11):6437-6443.

Caution: Product has not been fully validated for medical applications. For research use only.

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